

PCTWORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁵ : A61K 37/02, C07K 5/06 C07K 5/08, 5/10	A3	(11) International Publication Number: WO 93/08259 (43) International Publication Date: 29 April 1993 (29.04.93)
(21) International Application Number: PCT/US92/09026 (22) International Filing Date: 21 October 1992 (21.10.92) (30) Priority data: 781,552 22 October 1991 (22.10.91) US (71) Applicants: NEW ENGLAND MEDICAL CENTER HOSPITALS, INC. [US/US]; 750 Washington Street, Boston, MA 02111 (US). TUFTS UNIVERSITY [US/US]; Packard Hall, Medford, MA 02155 (US). (72) Inventors: BACHOVCHIN, William, W. ; 71 Warwick Road, Melrose, MA 02176 (US). PLAUT, Andrew, G. ; 750 Washington Street, Boston, MA 02111 (US). FLENTKE, George, R. ; 136 Harrison Avenue, Boston, MA 02111 (US).		(74) Agent: CLARK, Paul, T.; Fish & Richardson, 225 Franklin Street, Boston, MA 02110-2804 (US). (81) Designated States: CA, JP, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE). Published <i>With international search report.</i> (88) Date of publication of the International search report: 18 August 1994 (18.08.94)
(54) Title: INHIBITORS OF DIPEPTIDYL-AMINOPEPTIDASE TYPE IV (57) Abstract The invention is drawn to potent inhibitors of the enzymatic activity of DP-IV wherein the inhibitors are amino boronic acid analogs of protein.		

BEST AVAILABLE COPY

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AT	Austria	GB	United Kingdom	MR	Mauritania
AU	Australia	GE	Georgia	MW	Malawi
BB	Barbados	GN	Guinea	NE	Niger
BE	Belgium	GR	Greece	NL	Netherlands
BF	Burkina Faso	HU	Hungary	NO	Norway
BG	Bulgaria	IE	Ireland	NZ	New Zealand
BJ	Benin	IT	Italy	PL	Poland
BR	Brazil	JP	Japan	PT	Portugal
BY	Belarus	KE	Kenya	RO	Romania
CA	Canada	KG	Kyrgyzstan	RU	Russian Federation
CF	Central African Republic	KP	Democratic People's Republic of Korea	SD	Sudan
CG	Congo	KR	Republic of Korea	SE	Sweden
CH	Switzerland	KZ	Kazakhstan	SI	Slovenia
CI	Côte d'Ivoire	LJ	Liechtenstein	SK	Slovakia
CM	Cameroon	LK	Sri Lanka	SN	Senegal
CN	China	LU	Luxembourg	TD	Chad
CS	Czechoslovakia	LV	Latvia	TG	Togo
CZ	Czech Republic	MC	Monaco	TJ	Tajikistan
DE	Germany	MD	Republic of Moldova	TT	Trinidad and Tobago
DK	Denmark	MG	Madagascar	UA	Ukraine
ES	Spain	ML	Mali	US	United States of America
FI	Finland	MN	Mongolia	UZ	Uzbekistan
FR	France			VN	Viet Nam
GA	Gabon				

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US92/09026

A. CLASSIFICATION OF SUBJECT MATTER				
IPC(5) : A61K 37/02; C07K 5/06, 5/08, 5/10 US CL : 514/18, 19; 530/330, 331 According to International Patent Classification (IPC) or to both national classification and IPC				
B. FIELDS SEARCHED				
Minimum documentation searched (classification system followed by classification symbols) U.S. : 514/18, 19; 530/330, 331				
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched				
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)				
C. DOCUMENTS CONSIDERED TO BE RELEVANT				
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.		
Y	US, A, 4,935,493 (RACHOVCHIN) 19 June 1990, see the entire document.	1-6		
A	US, A, 4,318,904 (SHAW ET AL.) 09 March 1982, see abstract.	1-6		
A	US, A, 4,999,082 (SHENVI ET AL.) 12 February 1985, see Abstract.	1-6		
A	US, A, 4,582,821 (KETTNER ET AL.) 15 April 1986, see Abstract.	1-6		
A	US, A, 4,636,492 (KETTNER ET AL.) 13 January 1987, see Abstract.	1-6		
A	US, A, 4,652,552 (KETTNER ET AL.) 24 March 1987, see Abstract.	1-6		
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.				
<table border="0"> <tr> <td> <p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be part of particular relevance</p> <p>"E" earlier document published on or after the international filing date</p> <p>"L" documents which may throw doubt on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" documents referring to an oral disclosure, use, exhibition or other means</p> <p>"P" documents published prior to the international filing date but later than the priority date claimed</p> </td> <td> <p>"T" later documents published after the international filing date or priority date and not in conflict with the application but cited to undermind the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"Z" document members of the same patent family</p> </td> </tr> </table>			<p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be part of particular relevance</p> <p>"E" earlier document published on or after the international filing date</p> <p>"L" documents which may throw doubt on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" documents referring to an oral disclosure, use, exhibition or other means</p> <p>"P" documents published prior to the international filing date but later than the priority date claimed</p>	<p>"T" later documents published after the international filing date or priority date and not in conflict with the application but cited to undermind the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"Z" document members of the same patent family</p>
<p>* Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be part of particular relevance</p> <p>"E" earlier document published on or after the international filing date</p> <p>"L" documents which may throw doubt on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" documents referring to an oral disclosure, use, exhibition or other means</p> <p>"P" documents published prior to the international filing date but later than the priority date claimed</p>	<p>"T" later documents published after the international filing date or priority date and not in conflict with the application but cited to undermind the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"Z" document members of the same patent family</p>			
Date of the actual completion of the international search 04 JANUARY 1993		Date of completion of the international search report 08 FEB 1993		
Name and mailing address of the ISA/ Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231 Facsimile No. NOT APPLICABLE		Authorized officer LESTER L. LEE VSH Telephone No. (703) 308-0196		

Form PCT/ISA/210 (second sheet) (July 1992)*

BEST AVAILABLE COPY

BLANK PAGE